```
     (FILE 'HOME' ENTERED AT 14:25:08 ON 20 SEP 2007)

     FILE 'CAPLUS' ENTERED AT 14:25:31 ON 20 SEP 2007
                E KUHN BERND/IN,AU
L1            71 S E3-4
                E BRUCK ANTJE/IN,AU
L2             1 S E3-4
                E KATAKAWA YOSHIFUMI/IN,AU
L3             2 S E3-4
                E YASUI MASAMI/IN,AU
L4             3 S E3-4
L5             0 S L1 AND L2 AND L3 AND L4
L6            76 S L1 OR L2 OR L3 OR L4
L7          8717 S CANNABINOID
L8             0 S L6 AND L7
L9         35650 S CYCLODEXTRIN
L10            1 S L9 AND L6
                SELECT RN L10 1-

     FILE 'REGISTRY' ENTERED AT 14:29:46 ON 20 SEP 2007
L11            9 S E1-9
L12            0 S BAY38-7271/CHEM
L13            1 S BAY 38-7271

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:31:42 ON 20 SEP 2007
L14           12 S L13
L15           12 DUP REM L14 (0 DUPLICATES REMOVED)
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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:818260 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 139:297044
TITLE: Aqueous formulations of (2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate
INVENTOR(S): Kuehn, Bernd; Brueck, Antje; Katakawa, Yoshifumi; Yasui, Masami
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084506	A1	20031016	WO 2003-EP3327	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10215942	A1	20031023	DE 2002-10215942	20020411
CA 2481965	A1	20031016	CA 2003-2481965	20030331
AU 2003216904	A1	20031020	AU 2003-216904	20030331
EP 1496859	A1	20050119	EP 2003-712116	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005529864	T	20051006	JP 2003-581746	20030331
US 2006009420	A1	20060112	US 2005-510908	20050801

PRIORITY APPLN. INFO.: DE 2002-10215942 A 20020411
WO 2003-EP3327 W 20030331

AB The invention relates to aqueous formulations containing (-)-(R)-3-(2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate. The formulations are suitable as infusion solns. or as concentrate for producing these infusion solns. The invention also concerns containers with the claimed solns. and an infusion apparatus where the parts that are in contact with the infusion solution are prepared from selected polymers. Thus a ready-to-use infusion formulation included (g/L): (-)-(R)-3-(2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate 0.001; hydroxypropyl-β- cyclodextrin 2; sodium chloride 9; ethanol 0.8; citric acid 0.016; water 993.383.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 1 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2006:10568 USPATFULL <<LOGINID::20070920>>
TITLE: Aqueous formulations of (2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate
INVENTOR(S): Kuhn, Bernd, Frankfurt, GERMANY, FEDERAL REPUBLIC OF
Bruck, Antje, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Katakawa, Yoshifumi, Shizuoka-ken, JAPAN
Yasui, Masami, Shiga-ken, JAPAN
PATENT ASSIGNEE(S): Bayer Healthcare AG (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006009420	A1	20060112	
APPLICATION INFO.:	US 2003-510908	A1	20030331	(10)
	WO 2003-EP3327		20030331	
			20050801	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10215942	20020711

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516, US
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to aqueous formulations containing (-)-(R)-3-(2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluobutane-1-sulfonate. Said formulations are suitable as infusion solutions or as concentrate for producing these infusion solutions.

L15 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1010291 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 142:16723
TITLE: Discriminative stimulus effects of the structurally novel cannabinoid CB1/CB2 receptor partial agonist BAY 59-3074 in the rat
AUTHOR(S): De Vry, Jean; Ruediger Jentzsch, Klaus
CORPORATE SOURCE: CNS Research, Bayer HealthCare, Wuppertal, 42096, Germany
SOURCE: European Journal of Pharmacology (2004), 505(1-3), 127-133
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB BAY 59-3074 {3-[2-cyano-3-(trifluoromethyl)phenoxy]phenyl-4,4,4-trifluoro-1-butane-sulfonate} is a structurally novel cannabinoid CB1/CB2 receptor partial agonist with analgesic properties. The present study was performed to confirm its receptor binding profile in a highly sensitive in vivo assay. Rats (n=10) learned to discriminate BAY 59-3074 (0.5 mg/kg, p.o., t-1 h) from vehicle in a fixed-ratio: 10, food-reinforced two-lever procedure after a median number of 28 training sessions. BAY 59-3074 generalized dose-dependently (ED50: 0.081 mg/kg, p.o.) and the cue was detectable between 0.25 and 4 h after administration. The selective cannabinoid CB1 receptor antagonist SR 141716A [N-(piperidin-1-yl)-5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-carboxamide hydrochloride] blocked the discriminative effects of BAY 59-3074 (ID50: 1.79 mg/kg, i.p.). Complete generalization was also obtained after i.p. administration of BAY 59-3074 (ED50 value: 0.41 mg/kg), and the reference cannabinoids BAY 38-7271 [(-)-(R)-3-(2-hydroxymethylindanyl-4-oxy)phenyl-4,4,4-trifluoro-1-butanesulfonate, 0.011 mg/kg], CP 55,940 {(-)-cis-3-[2-hydroxy-4(1,1-dimethylheptyl)phenyl]-trans-4-(3-hydroxy-propyl)cyclohexanol, 0.013 mg/kg}, HU-210 [(-)-11-OH-Δ8-tetrahydrocannabinol dimethylheptyl, 0.022 mg/kg], WIN 55,212-2 [(R)-4,5-dihydro-2-methyl-4(4-morpholinylmethyl)-1-(1-naphthalenylcarbonyl)-6H-pyrrolo [3,2,1-ij] quinolin-6-one, 0.41 mg/kg] and (-)-Δ9-tetrahydrocannabinol (0.41 mg/kg). Non-cannabinoids with analgesic properties, such as morphine, amitriptyline, carbamazepine,

gabapentin and baclofen, did not generalize to the cue. It is concluded that the discriminative stimulus effects of BAY 59-3074 are specifically mediated by cannabinoid CB1 receptor activation.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:818260 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 139:297044
TITLE: Aqueous formulations of (2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate
INVENTOR(S): Kuehn, Bernd; Brueck, Antje; Katakawa, Yoshifumi; Yasui, Masami
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084506	A1	20031016	WO 2003-EP3327	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10215942	A1	20031023	DE 2002-10215942	20020411
CA 2481965	A1	20031016	CA 2003-2481965	20030331
AU 2003216904	A1	20031020	AU 2003-216904	20030331
EP 1496859	A1	20050119	EP 2003-712116	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005529864	T	20051006	JP 2003-581746	20030331
US 2006009420	A1	20060112	US 2005-510908	20050801

PRIORITY APPLN. INFO.: DE 2002-10215942 A 20020411
WO 2003-EP3327 W 20030331

AB The invention relates to aqueous formulations containing (-)-(R)-3-(2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate. The formulations are suitable as infusion solns. or as concentrate for producing these infusion solns. The invention also concerns containers with the claimed solns. and an infusion apparatus where the parts that are in contact with the infusion solution are prepared from selected polymers. Thus a ready-to-use infusion formulation included (g/L): (-)-(R)-3-(2-hydroxymethyl-indanyl-4-oxy)-phenyl-4,4,4-trifluorobutane-1-sulfonate 0.001; hydroxypropyl-β-cyclodextrin 2; sodium chloride 9; ethanol 0.8; citric acid 0.016; water 993.383.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2003:96122 USPATFULL <<LOGINID::20070920>>
TITLE: Aryl sulphonamide amino acid esters and analogues
INVENTOR(S): Mittendorf, Joachim, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Dressel, Jurgen, Radevormwald, GERMANY, FEDERAL REPUBLIC OF
Matzke, Michael, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Keldenich, Jorg, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Mauler, Frank, Overath, GERMANY, FEDERAL REPUBLIC OF
de Vry, Jean-Marie-Viktor, Rosrath, GERMANY, FEDERAL REPUBLIC OF
Franz, Jurgen, Witten, GERMANY, FEDERAL REPUBLIC OF

Spreyer, Peter, Dusseldorf, GERMANY, FEDERAL REPUBLIC OF
Vohringer, Verena, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Schumacher, Joachim, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Rock, Michael-Harold, Hvidovre, DENMARK
Horvath, Ervin, Leverkusen, GERMANY, FEDERAL REPUBLIC OF
Friedl, Arno, Bergisch Gladbach, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6545050	B1	20030408	
	WO 2000010968		20000302	
APPLICATION INFO.:	US 2001-763196		20010216	(9)
	WO 1999-EP5683		19990806	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1998-19837627	19980819

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Pellegrino, Susan M.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2031
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel amino acid esters of arylsulphonamides and analogues, to processes for their preparation and to their use for the prophylaxis and treatment of neurodegenerative disorders, in particular for the treatment of cerebral apoplexy, craniocerebral trauma, pain and spasticity.

L15 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:64278 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 141:161
TITLE: BAY 38-7271: a novel highly selective and highly potent cannabinoid receptor agonist for the treatment of traumatic brain injury
AUTHOR(S): Mauler, Frank; Horvath, Ervin; de Vry, Jean; Jaeger, Rainer; Schwarz, Thomas; Sandmann, Steffen; Weinz, Corinna; Heinig, Roland; Boettcher, Michael
CORPORATE SOURCE: Bayer Healthcare AG, Wuppertal, Germany
SOURCE: CNS Drug Reviews (2003), 9(4), 343-358
CODEN: CDREFB; ISSN: 1080-563X
PUBLISHER: Neva Press
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Traumatic brain injury (TBI) is the most common cause of mortality and morbidity in adults under 40 yr of age in industrialized countries. Worldwide the incidence is increasing, about 9.5 million people are hospitalized per yr due to TBI, and the death rate is estimated to be more than one million people per yr. Recently BAY 38-7271 has been characterized as a structurally novel, selective and highly potent cannabinoid CB1/CB2 receptor agonist in vitro and in vivo with pronounced neuroprotective efficacy in a rat traumatic brain injury model, showing a therapeutic window of at least 5 h. Furthermore, neuroprotective efficacy was also found in models of transient and permanent occlusion of the middle cerebral artery and brain edema models as well. In this article we review the in vitro and in vivo pharmacol. of BAY 38-7271, the results from acute and subacute toxicity studies, pharmacokinetics and drug metabolism in animals and healthy male volunteers. In phase I studies BAY 38-7271 was safe and well tolerated when administered by i.v. infusion for either 1 or 24 h. As the doses of BAY 38-7271 in animals needed for maximal neuroprotective efficacy were significantly lower than those inducing typical cannabinoid-like side effects, it is to be expected that the

compound will offer a novel therapeutic approach with a favorable therapeutic window for the treatment of TBI or cerebral ischemia.
REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:770763 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 140:105113
TITLE: Neuroprotective and brain edema-reducing efficacy of the novel cannabinoid receptor agonist BAY 38-7271
AUTHOR(S): Mauler, Frank; Hinz, Volker; Augstein, Karl-Heinz; Fassbender, Marion; Horvath, Ervin
CORPORATE SOURCE: PH-R-EU CNS, Bayer Health Care, Wuppertal, 42096, Germany
SOURCE: Brain Research (2003), 989(1), 99-111
CODEN: BRREAP; ISSN: 0006-8993
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB BAY 38-7271 is a new high-affinity cannabinoid receptor agonist with strong neuroprotective efficacy in a rat model of traumatic brain injury (acute subdural hematoma, SDH). In the present study we investigated CB1 receptor signal transduction by [35S]GTPγS binding in situ and in vitro to assess changes in receptor functionality after SDH. Further, we continued to investigate the neuroprotective properties of BAY 38-7271 in the rat SDH and transient middle cerebral artery occlusion (tMCA-O) model as well as the efficacy with respect to SDH-induced brain edema. [35S]GTPγS binding revealed minor attenuation of CB1 receptor functionality on brain membranes from injured hemispheres when compared to non-injured hemispheres or controls. In the rat SDH model, BAY 38-7271 displayed strong neuroprotective efficacy when administered immediately after SDH either as a 1 h (65% infarct volume reduction at 0.1 μg/kg) or short-duration (15 min) infusion (53% at 10 μg/kg). When administered as a 4 h infusion with a 5 h delay after injury, significant neuroprotection was observed (49% at 1.0 μg/kg/h). This was also observed when BAY 38-7271 was administered as a 5 h delayed 15 min short-duration infusion (64% at 3 μg/kg). In addition, the neuroprotective potential of BAY 38-7271 was demonstrated in the rat tMCA-O model, displaying pronounced neuroprotective efficacy in the cerebral cortex (91% at 1 ng/kg/h) and striatum (53% at 10 ng/kg/h). BAY 38-7271 also reduced intracranial pressure (28% at 250 ng/kg/h) and brain water content (20% at 250 ng/kg/h) when determined 24 h post-SDH. Based on these data it is concluded that the neuroprotective efficacy of BAY 38-7271 is mediated by multiple mechanisms triggered by cannabinoid receptors.
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2002:141542 USPATFULL <<LOGINID::20070920>>
TITLE: Arylsulfonamides and analogues
INVENTOR(S): Mittendorf, Joachim, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Dressel, Jurgen, Radevormwald, GERMANY, FEDERAL REPUBLIC OF
Matzke, Michael, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Keldenich, Jorg, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Mohrs, Klaus-Helmut, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Raddatz, Siegfried, Koln, GERMANY, FEDERAL REPUBLIC OF
Franz, Jurgen, Witten, GERMANY, FEDERAL REPUBLIC OF
Spreyer, Peter, Dusseldorf, GERMANY, FEDERAL REPUBLIC OF
Vohringer, Verena, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Schuhmacher, Joachim, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Rock, Michael-Harold, Valby, DENMARK
Horvath, Ervin, Leverkusen, GERMANY, FEDERAL REPUBLIC OF
Friedl, Arno, Bergisch Gladbach, GERMANY, FEDERAL

REPUBLIC OF
Mauler, Frank, Overath, GERMANY, FEDERAL REPUBLIC OF
Viktor de Vry, Jean Marie, Rosrath, GERMANY, FEDERAL REPUBLIC OF
Jork, Reinhard, Haan, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002072529	A1	20020613
	US 6573278	B2	20030603
APPLICATION INFO.:	US 2001-878392	A1	20010611 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-367456, filed on 15 Nov 1999, GRANTED, Pat. No. US 6262112 A 371 of International Ser. No. WO 1998-EP716, filed on 10 Feb 1998, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1997-19706902	19970221
	DE 1997-19740785	19970917

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Kurt G. Briscoe, Esq., Norris McLaughlin & Marcus, P.A., 30th Floor, 220 East 42nd Street, New York, NY, 10017
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 4625
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to new aryl ether sulphonamides and analogues, processes for their preparation and their use for the treatment of neurodegenerative disorders, in particular for the prophylaxis and treatment of neurodegenerative disorders, in particular for the treatment of cerebral apoplexy and craniocerebral trauma.

L15 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:520425 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 138:163316
TITLE: Characterization of the diarylether sulfonylester (-)-(R)-3-(2-hydroxymethylindanyl-4-oxy)phenyl-4,4,4-trifluoro-1-sulfonate (BAY 38-7271) as a potent cannabinoid receptor agonist with neuroprotective properties
AUTHOR(S): Mauler, Frank; Mittendorf, Joachim; Horvath, Ervin; De Vry, Jean
CORPORATE SOURCE: CNS Research, Business Group Pharma, Bayer AG, Wuppertal, Germany
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2002), 302(1), 359-368
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB (-)-(R)-3-(2-Hydroxymethylindanyl-4-oxy)phenyl-4,4,4-trifluoro-1-sulfonate (BAY 38-7271) is a new high-affinity cannabinoid receptor subtype 1 (CB1 receptor) ligand (Ki = 0.46-1.85 nM; rat brain, human cortex, or recombinant human CB1 receptor), structurally unrelated to any cannabinoid receptor ligand known so far. BAY 38-7271 was characterized as a CB1 receptor agonist in 5-[γ35S]-thiophosphate triethylammonium salt binding assays using rat or human CB1 receptors. In the rat hypothermia assay, BAY 38-7271 induced a dose-dependent reduction in body temperature (minimal ED = 6 μg/kg, i.v.); whereas in rats trained to discriminate the CB1/CB2 receptor agonist (-)-cis-3-[2-hydroxy-4(1,1-dimethylheptyl)phenyl]-trans-4-(3-hydroxypropyl) cyclohexanol (CP 55,940; 0.03 mg/kg, i.p.) from vehicle, BAY 38-7271 induced complete generalization (3 μg/kg, i.v.). In both in vivo models, a specific CB1 receptor-mediated mechanism was confirmed by demonstrating that the effects of CP 55,940 and BAY 38-7271 were blocked by pretreatment with the selective CB1 receptor antagonist N-(piperidin-1-yl)-5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-carboxamide hydrochloride. In the rat traumatic brain injury model, BAY 38-7271 demonstrated highly potent and efficient

neuroprotective properties when administered as a 4-h infusion immediately after induction of subdural hematoma (70% infarct volume reduction at 100 ng/kg/h). Even when applied with a 3-h delay, a significant neuroprotective efficacy could be observed (59% infarct volume reduction at 300 ng/kg/h). The neuroprotective potential of BAY 38-7271 was confirmed in a rat model of focal cerebral ischemia induced by permanent occlusion of the middle cerebral artery. It is concluded that the CB1/CB2 receptor agonist BAY 38-7271 shows pronounced neuroprotective properties that do not result from drug-induced hypothermia and that occur in a dose range devoid of typical cannabinoid-like side effects.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:913892 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 139:17443
TITLE: Discriminative stimulus effects of BAY 38-7271, a novel cannabinoid receptor agonist
AUTHOR(S): De Vry, Jean; Rudiger Jentzsch, Klaus
CORPORATE SOURCE: CNS Research, Bayer Health Care, Wuppertal, D-42096, Germany
SOURCE: European Journal of Pharmacology (2002), 457(2-3), 147-152
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB BAY 38-7271 [(-)-(R)-3-(2-hydroxymethylindanyl-4-oxy)phenyl-4,4,4-trifluoro-1-sulfonate] is a novel, highly potent and selective cannabinoid CB1/CB2 receptor agonist with neuroprotective properties. It was the aim of the present study to further confirm its cannabinoid CB1 receptor agonist properties in a highly sensitive in vivo assay. Male Wistar rats (n=24) were trained to discriminate BAY 38-7271 (0.05 mg/kg, i.p., t-30 min) from vehicle in a fixed-ratio:10, food-reinforced two-lever standard procedure. The animals acquired the discrimination after a median number of 52 training sessions. BAY 38-7271 generalized dose-dependently when tested after different routes of administration (ED50: 0.018 mg/kg, i.p.; 0.001 μg/kg, i.v.; 0.18 mg/kg, p.o.). A time-dependency study indicated that the cue (0.05 mg/kg, i.p.) was detectable between 15 min and 4 h, with a maximum of generalization obtained at 30 min after administration. Pretreatment with the selective cannabinoid CB1 receptor antagonist SR 141716A [N-(piperidin-1-yl)-5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-carboxamide hydrochloride] completely antagonized the effects of BAY 38-7271 (ID50: 1.1 mg/kg, i.p.). Dose-dependent and complete generalization was also obtained after i.p. administration of the reference cannabinoid CB1 receptor agonists HU-210 [(-)-11-OH-Δ8-tetrahydrocannabinol-dimethylheptyl, ED50: 0.003 mg/kg], CP 55,940 {(-)-cis-3-[2-hydroxy-4(1,1-dimethyl-heptyl)phenyl]-trans-4-(3-hydroxypropyl)cyclohexanol, 0.007 mg/kg}, WIN 55,212-2 [(R)-4,5-dihydro-2-methyl-4(4-morpholinylmethyl)-1-(1-naphtalenylcarbonyl)-6H-pyrrolo [3,2,1-ij] quinolin-6-one, 0.28 mg/kg] and (-)-Δ9-tetrahydrocannabinol (0.34 mg/kg). The present study confirms that BAY 38-7271 is a highly potent cannabinoid CB1 receptor agonist in vivo.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2001:112377 USPATFULL <<LOGINID::20070920>>
TITLE: Aryl sulfonamides and analogues thereof and their use in the treatment of neurodegenerative diseases
INVENTOR(S): Mittendorf, Joachim, Wuppertal, Germany, Federal Republic of
Dressel, Jurgen, Radevormwald, Germany, Federal Republic of
Matzke, Michael, Wuppertal, Germany, Federal Republic of
Keldenich, Jorg, Wuppertal, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Raddatz, Siegfried, Koln, Germany, Federal Republic of
Franz, Jurgen, Witten, Germany, Federal Republic of

Spreyer, Peter, Dusseldorf, Germany, Federal Republic of
Vohringer, Verena, Wuppertal, Germany, Federal Republic of
Schuhmacher, Joachim, Wuppertal, Germany, Federal Republic of
Rock, Michael-Harold, Valby, Denmark
Horvath, Ervin, Leverkusen, Germany, Federal Republic of
Friedl, Arno, Bergisch Gladbach, Germany, Federal Republic of
Mauler, Frank, Overath, Germany, Federal Republic of
Viktor de Vry, Jean Marie, Rosrath, Germany, Federal Republic of
Jork, Reinhard, Haan, Germany, Federal Republic of

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6262112	B1	20010717	
	WO 9837061		19980827	
APPLICATION INFO.:	US 1999-367456		19991115	(9)
	WO 1998-EP716		19980210	
			19991115	PCT 371 date
			19991115	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1997-19706902	19970221
	DE 1997-19740785	19970917

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Norris McLaughlin & Marcus
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 3985
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to new aryl ether sulphonamides and analogs, processes for their preparation and their use for the treatment of neurodegenerative disorders, in particular for the prophylaxis and treatment of neurodegenerative disorders, in particular for the treatment of cerebral apoplexy and craniocerebral trauma.

L15 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:127590 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 132:166512
TITLE: Preparation of alkylsulfonyloxyphenoxyindanylmethanol amino acid esters and related compounds as CB1 and CB2 cannabinoid receptor agonists.
INVENTOR(S): Mittendorf, Joachim; Dressel, Juergen; Matzke, Michael; Keldenich, Joerg; Mauler, Frank; DeVry, Jean; Franz, Juergen; Spreyer, Peter; Voehringer, Verena; Schumacher, Joachim; Rock, Michael-harold; Horvath, Ervin; Friedl, Arno
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 42 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19837627	A1	20000224	DE 1998-19837627	19980819
CA 2341028	A1	20000302	CA 1999-2341028	19990806
WO 2000010968	A2	20000302	WO 1999-EP5683	19990806
WO 2000010968	A3	20001109		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9954204	A1	20000314	AU 1999-54204	19990806
EP 1105371	A2	20010613	EP 1999-940158	19990806
EP 1105371	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002523396	T	20020730	JP 2000-566242	19990806
ES 2233064	T3	20050601	ES 1999-940158	19990806
US 6545050	B1	20030408	US 2001-763196	20010216
PRIORITY APPLN. INFO.:			DE 1998-19837627 A	19980819
			WO 1999-EP5683 W	19990806

OTHER SOURCE(S): MARPAT 132:166512

AB R1ADEGLR2 [R1 = (substituted) Ph, naphthyl, quinolinyl, isoquinolinyl, etc.; A, E = bond, alkylene; D = S, SO, SO2, imino; G = (substituted) arylene, heteroarylene; L = O, NH, OSO2, N(OH)SO2, etc.; R2 = (substituted) aryl, heteroaryl], were prepared Thus, (R)-4,4,4-trifluoro-1-butanesulfonic acid 3-(2-hydroxymethylindan-4-yloxy)phenyl ester (preparation given) in CH2Cl2 was treated with BOC-Gly-OH, N-ethyl-N'-3-(dimethylaminopropyl)carbodiimide hydrochloride, and 4-dimethylaminopyridine followed by 18 h stirring to give (R)-4,4,4-trifluoro-1-butanesulfonic acid 3-[2-(N-tert-butoxycarbonylglycinyl)oxymethylindan-4-yloxy]phenyl ester. The latter showed IC50 = 0.35 nM in the rat CB1 receptor-luciferase receptor test.

L15 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:585967 CAPLUS <<LOGINID::20070920>>
DOCUMENT NUMBER: 129:202764
TITLE: Preparation of arylsulfonamides and related compounds as cannabinoid CB1 and CB2 receptor agonists.
INVENTOR(S): Mittendorf, Joachim; Dressel, Juergen; Matzke, Michael; Keldenich, Joerg; Mohrs, Klaus-Helmut; Raddatz, Siegried; Franz, Juergen; Spreyer, Peter; Voehringer, Verena; Schuhmacher, Joachim; Rock, Michael-Harold; Horvath, Ervin; Friedel, Arno; Mauler, Frank; De Vry, Jean; Jork, Reinhard
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 194 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19740785	A1	19980827	DE 1997-19740785	19970917
CA 2281929	A1	19980827	CA 1998-2281929	19980210
CA 2281929	C	20070710		
CA 2470183	A1	19980827	CA 1998-2470183	19980210
WO 9837061	A1	19980827	WO 1998-EP716	19980210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9863965	A	19980909	AU 1998-63965	19980210
AU 735137	B2	20010705		
EP 966436	A1	19991229	EP 1998-909427	19980210
EP 966436	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902012	T2	20000121	TR 1999-2012	19980210
BR 9807848	A	20000321	BR 1998-7848	19980210

HU 200001111	A2	20000828	HU 2000-1111	19980210
JP 2001515470	T	20010918	JP 1998-536215	19980210
AT 229502	T	20021215	AT 1998-909427	19980210
PT 966436	T	20030331	PT 1998-909427	19980210
RU 2203272	C2	20030427	RU 1999-120092	19980210
ES 2189142	T3	20030701	ES 1998-909427	19980210
IL 131010	A	20040328	IL 1998-131010	19980210
CN 1754873	A	20060405	CN 2005-10104142	19980210
IN 1998DE00341	A	20070223	IN 1998-DE341	19980211
TW 527343	B	20030411	TW 1998-87102305	19980219
ZA 9801419	A	19980824	ZA 1998-1419	19980220
BG 63915	B1	20030630	BG 1999-103646	19990810
NO 9904014	A	19991012	NO 1999-4014	19990819
NO 314141	B1	20030203		
MX 9907687	A	20000531	MX 1999-7687	19990819
US 6262112	B1	20010717	US 1999-367456	19991115
US 2002072529	A1	20020613	US 2001-878392	20010611
US 6573278	B2	20030603		

PRIORITY APPLN. INFO.:

DE 1997-19706902	A1 19970221
DE 1997-19740785	A 19970917
CA 1998-2281929	A3 19980210
CN 1998-804381	A3 19980210
WO 1998-EP716	W 19980210
US 1999-367456	A3 19991115

OTHER SOURCE(S): MARPAT 129:202764

AB R1ADEGLR [R1 = aryl, quinolyl, isoquinolyl, etc.; A, E = bond, alkylene; D = O, S, SO, SO2, imino; G = (substituted) (hetero)arylene; L = O, NH, N(OH)SO2, NHSO, NHSO2, etc.; R = (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, morpholinyl, cycloalkyl, etc.], were prepared Thus, title compound (I) showed IC50 = 0.9 nM/L in a rat CB1 receptor luciferase screen.